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Table 11. Characteristics of Nucleoside Reverse Transcriptase Inhibitors (NRTIs)

| Generic Name (abbreviation)/ Trade Name | Formulation | Dosing Recommendations | Food Effect | Oral Bio- availability | Serum half-life | Intracellular half-life | Elimination | Adverse Events |
|---|--|---|--|---------------------------|--------------------|----------------------------|---|--|
| Abacavir (ABC) Ziagen® Trizivir® - w/ ZDV+3TC Epzicom® - w/ 3TC | Ziagen® 300 mg tablets or 20 mg/mL oral solution Trizivir®- ABC 300 mg + ZDV 300 mg + 3TC 150 mg Epzicom®- ABC 600 mg + 3TC 300 mg | 300 mg two times/day; or 600mg once daily; or as Trizivir®- 1 tablet two times/day Epzicom®- 1 tablet once daily | Take without regard to meals; Alcohol increases abacavir levels 41%; abacavir has no effect on alcohol | 83% | 1.5 hours | 12-26 hours | Metabolized by alcohol dehydrogenase and glucuronyl transferase. Renal excretion of metabolites 82% Trizivir® & Epzicom® not for patients with CrCl < 50 mL/min | Hypersensitivity reaction which can be fatal, symptoms may include fever, rash, nausea, vomiting, malaise or fatigue, loss of appetite, respiratory symptoms such as sore throat, cough, shortness of breath |
| Didanosine (ddI) Videx [®] , Videx EC [®] , Generic didanosine enteric coated (dose same as Videx EC) | Videx EC® 125, 200, 250, or 400 mg Videx® buffered tabs 25, 50, 100, 150, 200 mg Videx® buffered powders: 100, 167, 250 mg | Body weight ≥ 60kg: 400 mg once daily (buffered tablets or EC capsule); or 200 mg two times/day (buffered tablets); with TDF: 250 mg/day ≤ 60 kg: 250 mg daily (buffered tablets or EC capsule); or 125 mg two times/day (buffered tablets) with TDF: appropriate dose not established; probably < 250 mg/day | Levels decrease 55%; Take 1/2 hour before or 2 hours after meal | 30–40% | 1.5 hours | > 20 hours | Renal excretion 50% Dosage adjustment in renal insufficiency (See Table 14) | Pancreatitis; peripheral neuropathy; nausea; diarrhea Lactic acidosis with hepatic steatosis is a rare but potentially life-threatening toxicity associated with use of NRTIs. |
| Emtricitabine (FTC) Emtriva TM Truvada TM - w/ TDF | Emtriva™ - 200 mg hard gelatin capsule and 10 mg/mL oral solution Truvada™ - FTC 200 mg + TDF 300 mg | Emtriva TM - 200 mg capsule once daily or 240 mg (24 mL) oral solution once daily Truvada TM - One tablet once daily | Take without regard to meals | 93% | 10 hours | > 20 hours | Renal excretion Dosage adjustment in renal insufficiency (See <u>Table</u> 14) Truvada TM - not for patients with CrCl < 30 mL/min | Minimal toxicity; lactic acidosis with hepatic steatosis (rare but potentially life- threatening toxicity with use of NRTIs.) |
| Lamivudine (3TC) Epivir® | Epivir® 150 mg and 300 mg tablets or 10 mg/mL oral solution | Epivir® 150 mg two times/day; or 300 mg daily | Take without regard to meals | 86% | 5-7 hours | 18 -22 hours | Renal excretion Dosage adjustment in | Minimal toxicity; lactic acidosis with hepatic steatosis (rare but potentially life- |
| Combivir®- w/ ZDV ; | Combivir®- 3TC 150 mg + ZDV 300 mg | Combivir® - 1 tablet two times/day | | | | | renal insufficiency (See <u>Table 14</u>) | threatening toxicity with use of NRTIs) |
| Epizicom®- w/ ABC | Epizicom® - 3TC 300 mg + ABC 600 mg | Epizicom [®] - 1 tablet once daily | | | | | Combivir®, Trizivir® & Epzicom® not for patients | |
| Trizivir®- w/ ZDV+ABC ; | Trizivir® - 3TC 150 mg + ZDV 300 mg + ABC 300 mg | Trizivir [®] - 1 tablet two times/day | | | | | not for patients with CrCl < 50 mL/min | |

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Table 11. Characteristics of Nucleoside Reverse Transcriptase Inhibitors (NRTIs)

| Generic Name (abbreviation)/ Trade Name | Formulation | S of Nucleos Dosing Recommendations | Food Effect | Oral Bio- availability | Serum half-life | Intracellular half-life | Elimination | Adverse Events |
|---|--|--|---------------------------------------|--|--------------------|----------------------------|---|---|
| Stavudine (d4T) Zerit® | Zerit® 15, 20, 30, 40 mg capsules or 1mg/mL for oral solution | Body weight >60 kg: 40 mg two times/day; Body weight <60 kg: 30 mg two times/day | Take without regard to meals | 86% | 1.0 hour | 7.5 hours | Renal excretion 50% Dosage adjustment in renal insufficiency (See <u>Table 14</u>) | Peripheral neuropathy; Lipodystrophy Rapidly progressive ascending neuromuscular weakness (rare) Pancreatitis Lactic acidosis with hepatic steatosis (higher incidence with d4T than with other NRTIs Hyperlipidemia |
| Tenofovir Disoproxil Fumarate (TDF) Viread® Truvada® - w/ FTC | Viread® 300 mg tablet Truvada® - TDF 300 mg + FTC 200 mg | Viread® 1 tablet once daily Truvada® 1 tablet once daily | Take without regard to meals | 25% in fasting state; 39% with high-fat meal | 17 hours | >60 hours | Renal excretion Dosage adjustment in renal insufficiency (See Table 14) Truvada TM - not for patients with CrCl < 30 mL/min | Asthenia, headache, diarrhea, nausea, vomiting, and flatulence; renal insufficiency; lactic acidosis with hepatic steatosis (rare but potentially lifethreatening toxicity with use of NRTIs) |
| Zalcitabine (ddC) Hivid [®] | 0.375, 0.75 mg tablets Anticipated discontinuation of distribution in 2006 | 0.75 mg three times/day | Take without regard to meals | 85% | 1.2 hours | N/A | Renal excretion 70% Dosage adjustment in renal insufficiency (See <u>Table 14</u>) | Peripheral neuropathy; Stomatitis; Lactic acidosis with hepatic steatosis (rare but potentially life-threatening toxicity with use of NRTIs); Pancreatitis |
| Zidovudine (AZT, ZDV) Retrovir® Combivir®- w/ 3TC; Trizivir®- w/ 3TC+ABC; | Retrovir® 100 mg capsules, 300 mg tablets, 10 mg/mL intravenous solution, 10 mg/mL oral solution Combivir® 3TC 150 mg + ZDV 300 mg Trizivir® -3TC 150 mg + ZDV 300 mg + ABC 300 mg | Retrovir® 300 mg two times/day or 200 mg three times/ day Combivir® or Trizivir® - 1 tablet two times/day | Take without regard to meals | 60% | 1.1 hours | 7 hours | Metabolized to AZT glucuronide (GAZT). Renal excretion of GAZT Dosage adjustment in renal insufficiency (See Table 14) Combivir® & Trizivir® - not for patients with CrCl < 50 mL/min | Bone marrow suppression: macrocytic anemia or neutropenia; Gastrointestinal intolerance, headache, insomnia, asthenia; Lactic acidosis with hepatic steatosis (rare but potentially life-threatening toxicity associated with use of NRTIs. |